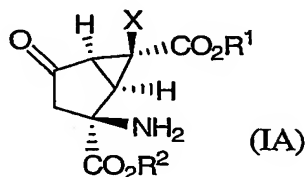


## WHAT IS CLAIMED IS:

1. A process for preparing a compound of formula (IA):



wherein R<sup>1</sup> and R<sup>2</sup> are each selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) -(CH<sub>2</sub>)<sub>n</sub>-phenyl

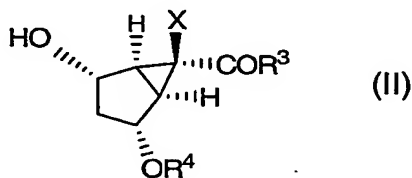
wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C<sub>1-6</sub> alkyl or C<sub>1-6</sub> alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

pharmaceutically acceptable salts thereof,  
comprising:

(A) oxidizing a compound of formula (II):



wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-R<sup>a</sup>, and
- (3) -NR<sup>b</sup>R<sup>c</sup>,

wherein R<sup>a</sup> is selected from the group consisting of

- (a) C<sub>1-10</sub> alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

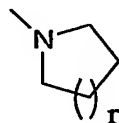
- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR<sup>d</sup>,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

- (a) halogen
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl,

and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
  - (ii) C<sub>1-10</sub> alkoxy,
  - (iii) SR<sup>d</sup>,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (vi) NR<sup>g</sup>R<sup>h</sup>;
- wherein R<sup>g</sup> and R<sup>h</sup> are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;
- or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,

- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NR<sup>g</sup>R<sup>h</sup>,

R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl; and

R<sup>4</sup> is selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>),
- (4) C(=O)-R<sup>12</sup>,
- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6) (CH<sub>2</sub>)<sub>p</sub>-O-(CH<sub>2</sub>)<sub>q</sub>-X'-R<sup>14</sup>,
- (7) tetrahydropyranyl,

wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl, and R<sup>14</sup> is selected from the group consisting of

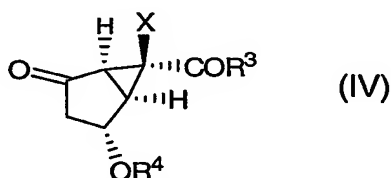
- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

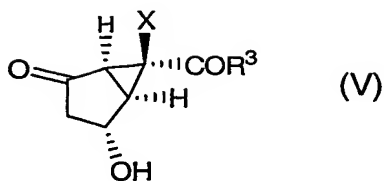
q is an integer selected from 1-10; and

X' is O or a bond;

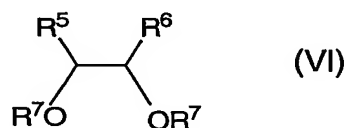
to form a compound of formula (IV):



(B) deprotecting the compound of formula (IV) to form a compound of formula (V):



(C) reacting the compound of formula (V) with a compound of formula (VI):



5 wherein R<sup>5</sup> and R<sup>6</sup> are each independently selected from the group consisting of

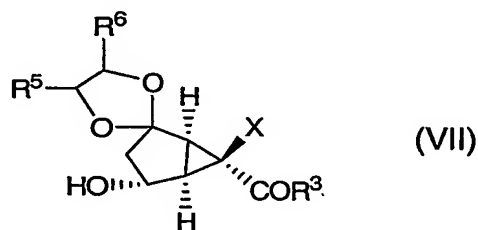
- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) (CH<sub>2</sub>)<sub>m</sub> phenyl,

10 wherein m is 0, 1 or 2, and

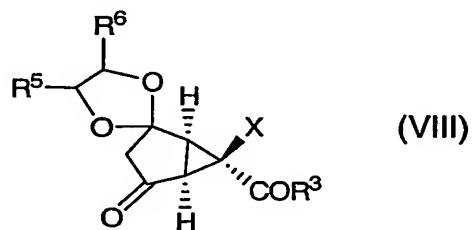
R<sup>7</sup> is selected from the group consisting of

- (1) hydrogen, and
- (2) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>), wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl;

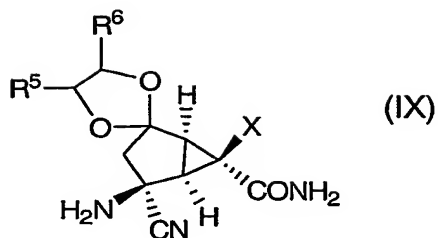
to give a compound of formula (VII):



(D) oxidizing the compound of formula (VII) to give a compound of formula (VIII):



(E) converting the compound of formula (VIII) to a compound of formula (IX):



and (F) converting the compound of formula (IX) to the compound of formula (IA).

2. The process of Claim 1 wherein R<sup>5</sup> and R<sup>6</sup> are methyl.

3. The process of Claim 1 wherein R<sup>5</sup> and R<sup>6</sup> are phenyl.

4. The process of Claim 1 wherein R<sup>3</sup> is methoxy.

5. The process of Claim 1 wherein R<sup>1</sup> and R<sup>2</sup> are hydrogen.

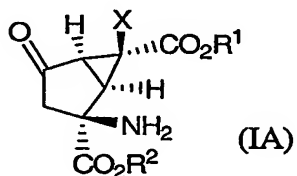
6. The process of Claim 1 wherein R<sup>7</sup> is trimethylsilyl.

7. The process of Claim 1 wherein X is hydrogen.

8. The process of Claim 1 wherein X is fluoro.

9. The process of Claim 1 wherein R<sup>4</sup> is *tert* butyldimethylsilyl.

10. A process for preparing a compound of formula (IA):



wherein R<sup>1</sup> and R<sup>2</sup> are each selected from the group consisting of  
(1) hydrogen,

- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) -(CH<sub>2</sub>)<sub>n</sub>-phenyl

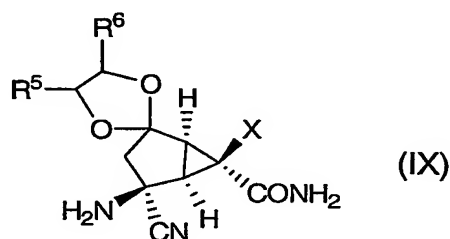
wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C<sub>1-6</sub> alkyl or C<sub>1-6</sub> alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

pharmaceutically acceptable salts thereof;

comprising converting the compound of formula (IX):



wherein R<sup>5</sup> and R<sup>6</sup> are each independently selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) (CH<sub>2</sub>)<sub>m</sub>-phenyl,

wherein m is 0, 1 or 2,

to the compound of formula (IA).

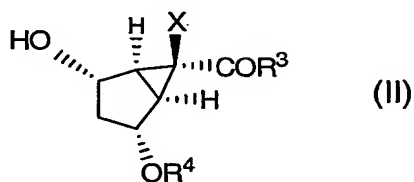
11. The process of Claim 10 wherein R<sup>5</sup> and R<sup>6</sup> are methyl.

12. The process of Claim 10 wherein R<sup>5</sup> and R<sup>6</sup> are phenyl.

13. The process of Claim 10 wherein X is fluoro.

14. The process of Claim 10 wherein X is hydrogen.

15. A process for preparing a compound of formula (II):



wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-R<sup>a</sup>, and
- (3) -NR<sup>b</sup>R<sup>c</sup>,

wherein R<sup>a</sup> is selected from the group consisting of

- (a) C<sub>1-10</sub> alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR<sup>d</sup>,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl,

and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> or R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

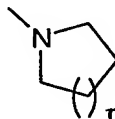
- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi) NR<sup>g</sup>R<sup>h</sup>;

wherein R<sup>g</sup> and R<sup>h</sup> are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;

or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,

(ii) C<sub>1-10</sub> alkoxy,

(iii) SR<sup>d</sup>,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi) NR<sup>g</sup>R<sup>h</sup>,

R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl;

X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

R<sup>4</sup> is selected from the group consisting of

(1) hydrogen,

(2) C<sub>1-10</sub> alkyl,

(3) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>),

(4) C(=O)-R<sup>12</sup>,

(5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,

(6) (CH<sub>2</sub>)<sub>p</sub>-O-(CH<sub>2</sub>)<sub>q</sub>-X'-R<sup>14</sup>,

(7) tetrahydropyranyl,



wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl, and R<sup>14</sup> is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

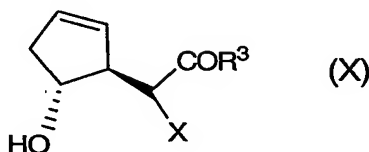
p is 1 or 2;

q is an integer of from 1-10; and

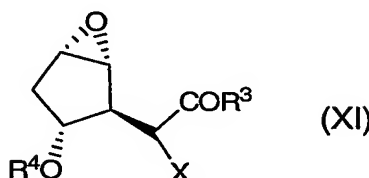
X' is O or a bond;

comprising:

(A) converting a compound of formula (X):



to a compound of formula (XI):



and (B) reacting a compound of formula (XI) with a base in the presence of a Lewis acid to give a compound of formula (II).

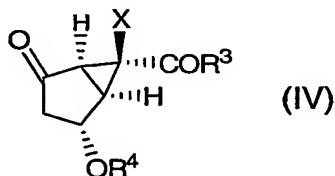
16. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises the step of subjecting a compound of formula (X) to epoxidation in the presence of a peroxide source and a catalytic amount of VO(acac)<sub>2</sub>.

17. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises treating the compound of formula (X) with a halogenating agent, followed by treatment with a base.

18. The process of Claim 15 wherein X is fluoro.

19. The process of Claim 15 wherein X is hydrogen.

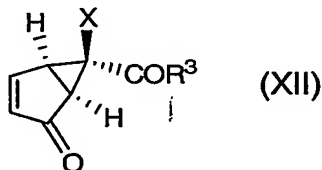
20. The process of Claim 5, further comprising the step of oxidizing the compound of  
5 formula (II) to form a compound of formula (IV)



10 21. The process of Claim 20 wherein X is fluoro.

22. The process of Claim 20 wherein X is hydrogen.

23. A process for preparing a compound of formula (XII)



15 wherein R³ is selected from the group consisting of

(1) -OH,

(2) -O-R<sup>a</sup>, and

(3) -NR<sup>b</sup>R<sup>c</sup>,

20 wherein R<sup>a</sup> is selected from the group consisting of

(a) C<sub>1-10</sub> alkyl, and

(b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

(i) C<sub>1-10</sub> alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR<sup>d</sup>,

25

- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

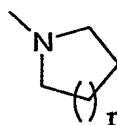
- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl,

and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NR<sup>g</sup>R<sup>h</sup>;

wherein R<sup>g</sup> and R<sup>h</sup> are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;

or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi)  $\text{NR}^{\text{d}}\text{R}^{\text{h}}$ ,

$\text{R}^{\text{d}}$  is hydrogen or  $\text{C}_{1-10}$  alkyl;

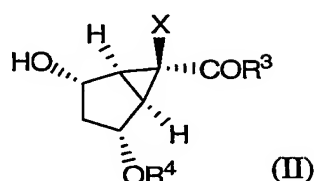
X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

comprising:

(A) converting a compound of formula (II)



wherein  $\text{R}^4$  is selected from the group consisting of

(1) hydrogen,

(2)  $\text{C}_{1-10}$  alkyl,

(3)  $\text{Si}-(\text{R}^9)(\text{R}^{10})(\text{R}^{11})$ ,

(4)  $\text{C}(=\text{O})-\text{R}^{12}$ ,

(5)  $\text{CH}_2$ -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen,  $\text{C}_{1-10}$  alkyl and  $\text{C}_{1-10}$  alkoxy,

(6)  $(\text{CH}_2)_p-\text{O}-(\text{CH}_2)_q-\text{X}'-\text{R}^{14}$ ,

(7) tetrahydropyranyl,

wherein  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{R}^{11}$  are each  $\text{C}_{1-10}$  alkyl or phenyl, and  $\text{R}^{14}$  is selected from the group consisting of

(a) hydrogen,

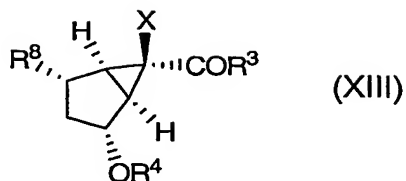
(b)  $\text{C}_{1-10}$  alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

$\text{X}'$  is O or a bond;

to a compound of formula (XIII)



wherein  $R^8$  is selected from the group consisting of

(1) halogen, and

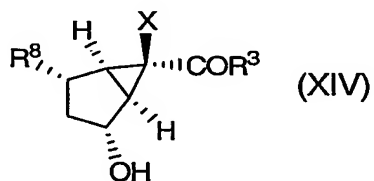
(2)  $O-SO_2-R^{12}$  wherein  $R^{12}$  is selected from the group consisting of

(a)  $C_{1-10}$  alkyl,

(b)  $C_{1-10}$  perfluoroalkyl, or

(c) phenyl which is substituted or unsubstituted with one or more substituents selected from the group consisting of nitro, halogen,  $C_{1-10}$  alkyl, or  $C_{1-10}$  alkoxy,

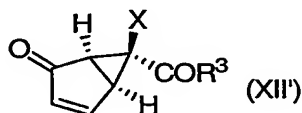
(B) removing  $R^4$  to form a compound of formula (XIV)



and (C) oxidizing the compound of formula (XIV) to form the compound of formula (XII).

24. The process of claim 23 wherein  $R^3$  is methoxy.

25. A process for preparing a compound of formula (XII')



wherein  $R^3$  is selected from the group consisting of

(1)  $-OH$ ,

(2)  $-O-R^a$ , and

(3)  $-NR^bR^c$ ,

wherein  $R^a$  is selected from the group consisting of

(a)  $C_{1-10}$  alkyl, and

(b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

(i) C<sub>1-10</sub> alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR<sup>d</sup>,

(v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, and R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

(a) hydrogen,

(b) C<sub>1-10</sub> alkyl, and

(c) C<sub>3-8</sub> cycloalkyl,

and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C<sub>1-10</sub> alkoxy,

(iii) SR<sup>d</sup>,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,

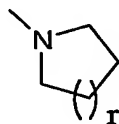
(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi) NR<sup>g</sup>R<sup>h</sup>;

wherein R<sup>g</sup> and R<sup>h</sup> are selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;

R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl;

or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein  $r$  is 1 or 2, and the  $\text{NR}^{\text{b}}\text{RC}$  group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii)  $\text{C}_{1-10}$  alkoxy,
- (iii)  $\text{SR}^{\text{d}}$ ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $\text{C}_{1-10}$  alkoxy,  $\text{C}_{1-10}$  alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $\text{C}_{1-10}$  alkoxy,  $\text{C}_{1-10}$  alkyl or halogen, and
- (vi)  $\text{NR}^{\text{g}}\text{Rh}$ ,

$\text{X}$  is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

$\text{R}^4$  is selected from the group consisting of

- (1) hydrogen,
- (2)  $\text{C}_{1-10}$  alkyl,
- (3)  $\text{Si}-(\text{R}^9)(\text{R}^{10})(\text{R}^{11})$ ,
- (4)  $\text{C}(=\text{O})-\text{R}^{12}$ ,
- (5)  $\text{CH}_2$ -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen,  $\text{C}_{1-10}$  and  $\text{C}_{1-10}$  alkoxy,
- (6)  $(\text{CH}_2)_p-\text{O}-(\text{CH}_2)_q-\text{X}'-\text{R}^{14}$ ,
- (7) tetrahydropyranyl,

wherein  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{R}^{11}$  are each  $\text{C}_{1-10}$  alkyl or phenyl, and  $\text{R}^{14}$  is selected from the group consisting of

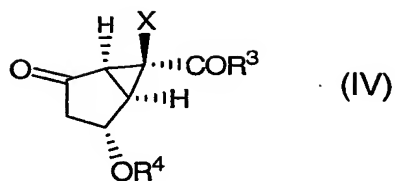
- (a) hydrogen,
- (b)  $\text{C}_{1-10}$  alkyl;

$p$  is 1 or 2;

$q$  is an integer of from 1-10; and

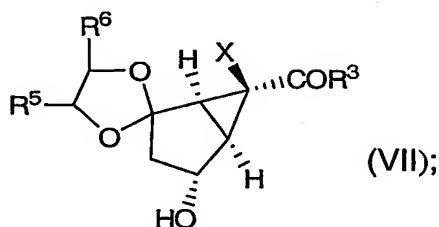
$\text{X}'$  is O or a bond;

comprising converting a compound of formula (IV)



to a compound of formula (XII').

26. A compound of formula (VII):



wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-R<sup>a</sup>, and
- (3) -NR<sup>b</sup>R<sup>c</sup>,

wherein R<sup>a</sup> is selected from the group consisting of

- (a) C<sub>1-10</sub> alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

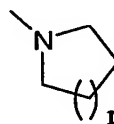
- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR<sup>d</sup>,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of



- (a) hydrogen,  
 (b) C<sub>1-10</sub> alkyl, and  
 (c) C<sub>3-8</sub> cycloalkyl,  
 and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub>  
 5 alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more  
 (i) hydroxy,  
 (ii) C<sub>1-10</sub> alkoxy,  
 (iii) SR<sup>d</sup>,  
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 10 alkoxy, C<sub>1-10</sub> alkyl or halogen,  
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (vii) NR<sup>g</sup>R<sup>h</sup>;  
 wherein R<sup>g</sup> and R<sup>h</sup> are selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl or  
 15 C<sub>3-8</sub> cycloalkyl  
 R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl;

or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



20 wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring  
 carbon atoms by one or more

- (i) hydroxy,  
 (ii) C<sub>1-10</sub> alkoxy,  
 25 (iii) SR<sup>d</sup>,  
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 30 (vi) NR<sup>g</sup>R<sup>h</sup>,

R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of

- (1) hydrogen,

- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) (CH<sub>2</sub>)<sub>m</sub>-phenyl,

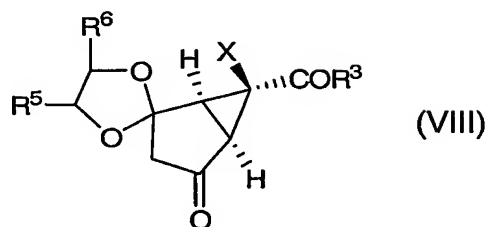
wherein m is 0, 1 or 2; and

5 X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

10 27. A compound of formula (VIII):



wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-R<sup>a</sup>, and
- (3) -NR<sup>b</sup>R<sup>c</sup>,

wherein R<sup>a</sup> is selected from the group consisting of

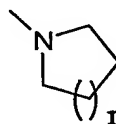
- (a) C<sub>1-10</sub> alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR<sup>d</sup>,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

- (a) hydrogen,  
 (b) C<sub>1-10</sub> alkyl, and  
 (c) C<sub>3-8</sub> cycloalkyl,  
 and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub>  
 5 alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more  
 (i) hydroxy,  
 (ii) C<sub>1-10</sub> alkoxy,  
 (iii) SR<sup>d</sup>,  
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 10 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (vi) NR<sup>g</sup>R<sup>h</sup>;  
 wherein R<sup>g</sup> and R<sup>h</sup> are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;  
 15 R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl;  
 or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring  
 20 carbon atoms by one or more

- (i) hydroxy,  
 (ii) C<sub>1-10</sub> alkoxy,  
 (iii) SR<sup>d</sup>,  
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 25 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>  
 alkoxy, C<sub>1-10</sub> alkyl or halogen, and  
 (vi) NR<sup>g</sup>R<sup>h</sup>,

30 R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of

- (1) hydrogen,  
 (2) C<sub>1-10</sub> alkyl,  
 (3) C<sub>3-8</sub> cycloalkyl, and

(4)  $(\text{CH}_2)_m$  phenyl,

wherein  $m$  is 0, 1 or 2; and

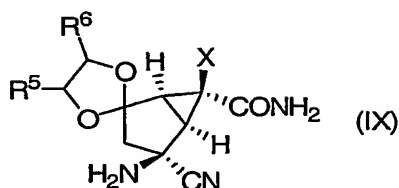
$X$  is selected from the group consisting of

(1) halogen, and

5 (2) hydrogen;

and salts thereof.

28. A compound of formula (IX):



10 wherein  $R^5$  and  $R^6$  are independently selected from the group consisting of

(1) hydrogen,

(2)  $C_{1-10}$  alkyl,

(3)  $C_{3-8}$  cycloalkyl, and

(4)  $(\text{CH}_2)_m$ -phenyl,

15 wherein  $m$  is 0, 1 or 2; and

$X$  is selected from the group consisting of

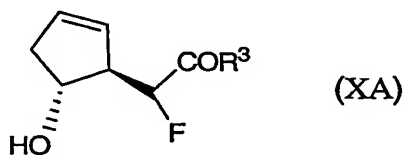
(1) halogen, and

(2) hydrogen;

and salts thereof.

20

29. A compound of formula (XA):



wherein  $R^3$  is selected from the group consisting of

25 (1)  $-OH$ ,

(2)  $-O-R^a$ , and

(3)  $-NR^bR^c$ ,

wherein  $R^a$  is selected from the group consisting of

(a)  $C_{1-10}$  alkyl, and

(b)  $C_{3-8}$  cycloalkyl,

and  $R^a$  is unsubstituted or substituted with one or more

(i)  $C_{1-10}$  alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv)  $SR^d$ ,

(v) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

(vii)  $NR^eR^f$ ;

$R^b$ ,  $R^c$ ,  $R^e$  and  $R^f$  are selected from the group consisting of

(a) hydrogen,

(b)  $C_{1-10}$  alkyl, and

(c)  $C_{3-8}$  cycloalkyl,

and when  $R^b$ ,  $R^c$ ,  $R^e$  and  $R^f$  are  $C_{1-10}$  alkyl or  $C_{3-8}$  cycloalkyl, said  $C_{1-10}$  alkyl and  $C_{3-8}$  cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii)  $C_{1-10}$  alkoxy,

(iii)  $SR^d$ ,

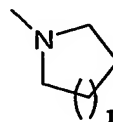
(iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

(vi)  $NR^gR^h$ ;

wherein  $R^g$  and  $R^h$  are hydrogen,  $C_{1-10}$  alkyl or  $C_{3-8}$  cycloalkyl;

or  $R^b$  and  $R^c$ , together with the N atom to which they are attached, form a group



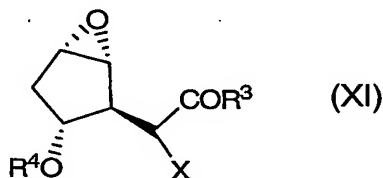
wherein  $r$  is 1 or 2, and the  $\text{NR}^{\text{b}}\text{R}^{\text{c}}$  group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii)  $\text{C}_{1-10}$  alkoxy,
- (iii)  $\text{SR}^{\text{d}}$ ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $\text{C}_{1-10}$  alkoxy,  $\text{C}_{1-10}$  alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $\text{C}_{1-10}$  alkoxy,  $\text{C}_{1-10}$  alkyl or halogen, and
- (vi)  $\text{NR}^{\text{g}}\text{R}^{\text{h}}$ ,

$\text{R}^{\text{d}}$  is hydrogen or  $\text{C}_{1-10}$  alkyl;

and salts thereof.

30. A compound of formula (XI):



wherein  $\text{R}^3$  is selected from the group consisting of

- (1)  $-\text{OH}$ ,
- (2)  $-\text{O}-\text{R}^{\text{a}}$ , and
- (3)  $-\text{NR}^{\text{b}}\text{R}^{\text{c}}$ ,

wherein  $\text{R}^{\text{a}}$  is selected from the group consisting of

- (a)  $\text{C}_{1-10}$  alkyl, and
- (b)  $\text{C}_{3-8}$  cycloalkyl,

and  $\text{R}^{\text{a}}$  is unsubstituted or substituted with one or more

- (i)  $\text{C}_{1-10}$  alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv)  $\text{SR}^{\text{d}}$ ,
- (v) aryl, unsubstituted or substituted with one or more hydroxy,  $\text{C}_{1-10}$  alkoxy,  $\text{C}_{1-10}$  alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vii) NR<sup>e</sup>R<sup>f</sup>;

R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are selected from the group consisting of

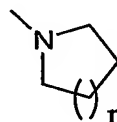
- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl,

and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NR<sup>g</sup>R<sup>h</sup>;

wherein R<sup>g</sup> and R<sup>h</sup> are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;

or R<sup>b</sup> and R<sup>c</sup>, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SR<sup>d</sup>,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NR<sup>g</sup>R<sup>h</sup>,

$R^d$  is hydrogen or  $C_{1-10}$  alkyl;

$R^4$  is selected from the group consisting of

- (1) hydrogen,
- (2)  $C_{1-10}$  alkyl,
- (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
- (4)  $C(=O)-R^{12}$ ,
- (5)  $CH_2$ -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen,  $C_{1-10}$  alkyl and  $C_{1-10}$  alkoxy,
- (6)  $(CH_2)_p-O-(CH_2)_q-X'-R^{14}$ ,
- (7) tetrahydropyranyl,

wherein  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each  $C_{1-10}$  alkyl or phenyl, and  $R^{14}$  is selected from the group consisting of

- (a) hydrogen,
- (b)  $C_{1-10}$  alkyl,

$p$  is 1 or 2;

$q$  is an integer of from 1-10; and

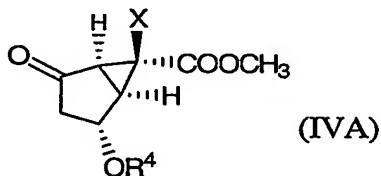
$X'$  is O or a bond;

$X$  is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

31. A compound of formula (IVA):



wherein  $X$  is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

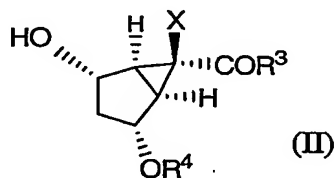
$R^4$  is selected from the group consisting of



- (1) hydrogen,  
 (2) C<sub>1-10</sub> alkyl,  
 (3) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>),  
 (4) C(=O)-R<sup>12</sup>,  
 5 (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more  
 substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and  
 C<sub>1-10</sub> alkoxy,  
 (6) (CH<sub>2</sub>)<sub>p</sub>-O-(CH<sub>2</sub>)<sub>q</sub>-X'-R<sup>14</sup>, and  
 (7) tetrahydropyranyl,  
 10 wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl, and R<sup>14</sup> is selected from the group  
 consisting of  
 (a) hydrogen,  
 (b) C<sub>1-10</sub> alkyl,  
 p is 1 or 2;  
 15 q is an integer of from 1-10; and  
 X' is O or a bond;

and salts thereof.

32. A compound of formula (II):



wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,  
 (2) -O-R<sup>a</sup>, and  
 (3) -NR<sup>b</sup>R<sup>c</sup>,

wherein R<sup>a</sup> is selected from the group consisting of

- (a) C<sub>1-10</sub> alkyl, and  
 (b) C<sub>3-8</sub> cycloalkyl,

and R<sup>a</sup> is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,  
 (ii) hydroxy,

- (iii) halogen,
- (iv)  $SR^d$ ,
- (v) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vii)  $NR^eR^f$ ;

$R^b$ ,  $R^c$ ,  $R^e$  and  $R^f$  are selected from the group consisting of

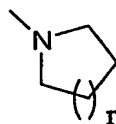
- (a) hydrogen,
- (b)  $C_{1-10}$  alkyl, and
- (c)  $C_{3-8}$  cycloalkyl,

and when  $R^b$ ,  $R^c$ ,  $R^e$  and  $R^f$  are  $C_{1-10}$  alkyl or  $C_{3-8}$  cycloalkyl, said  $C_{1-10}$  alkyl and  $C_{3-8}$  cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii)  $C_{1-10}$  alkoxy,
- (iii)  $SR^d$ ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vi)  $NR^gR^h$ ;

wherein  $R^g$  and  $R^h$  are hydrogen,  $C_{1-10}$  alkyl or  $C_{3-8}$  cycloalkyl;

or  $R^b$  and  $R^c$ , together with the N atom to which they are attached, form a group



wherein  $r$  is 1 or 2, and the  $NR^bR^c$  group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii)  $C_{1-10}$  alkoxy,
- (iii)  $SR^d$ ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi) NR<sup>g</sup>R<sup>h</sup>,

5 R<sup>d</sup> is hydrogen or C<sub>1-10</sub> alkyl;

R<sup>4</sup> is selected from the group consisting of

(1) hydrogen,

(2) C<sub>1-10</sub> alkyl,

(3) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>),

10 (4) C(=O)-R<sup>12</sup>,

(5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,

(6) (CH<sub>2</sub>)<sub>p</sub>-O-(CH<sub>2</sub>)<sub>q</sub>-X'-R<sup>14</sup>, and

15 (7) tetrahydropyranyl,

wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl, and

R<sup>14</sup> is selected from the group consisting of

(a) hydrogen,

(b) C<sub>1-10</sub> alkyl,

20 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

X is selected from the group consisting of

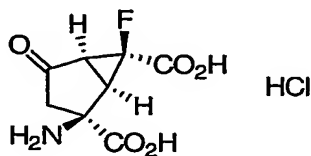
(1) halogen, and

25 (2) hydrogen;

and salts thereof.

33. A compound which is:

30



34. A polymorphic form of the compound of Claim 34 wherein the polymorphic form has a d-spacing determined by x-ray powder diffraction, CuK alpha, of about 5.37 angstroms.

5 35. The polymorphic form of Claim 35, which has at least one additional d-spacing determined by x-ray powder diffraction, CuK alpha, of about 4.52, 4.05, 3.84, 3.37, 2.96, 2.73, 2.67, 2.59 or 2.42 angstroms.

36. A polymorphic form of the compound of Claim 34, wherein the polymorphic form has a Differential Scanning Calorimetry extrapolated onset melting temperature of about 184°C.